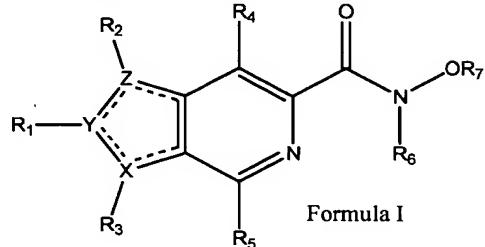


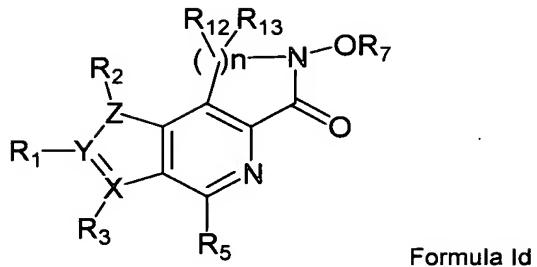
WHAT IS CLAIMED IS:

1. A compound represented by Formula I:



wherein:

- 5       $R_1, R_2$  and  $R_3$  are each independently:  
 hydrogen;  $-C(O)OR_c$ ; or an alkyl, alkenyl, heteroalkyl, or haloalkyl group,  
 unsubstituted or substituted with one or more substituents independently  
 selected from the group consisting of:  
 halogens;  $-O-$ ;  $-OR_c$ ;  $NR_cR_c$ ;  $C(O)NR_cR_c$ ;  $NR_cC(O)NR_cR_c$ ;  
 10      $NR_cC(O)R_c$ ;  $NR_cC(NR_c)NR_cR_c$ ;  $SR_c$ ;  $S(O)R_c$ ;  $S(O)_2R_c$ ;  $S(O)_2NR_cR_c$ ;  
 and alkyl, aryl, cycloalkyl, heteroaryl, and alkoxy-heteroaryl groups,  
 unsubstituted or substituted by one or more substituents  
 independently selected from the group consisting of:  
 halogens;  $-C(R_c)_3$ ;  $-OH$ ; and alkyl, alkenyl, aryl and heteroaryl  
 15     groups, unsubstituted or substituted with one or more  
 independently selected  $R_c$  groups,  
 where  $R_c$  is one or more substituents independently selected from the group  
 consisting of: halogens; hydrogen; OH; unsubstituted alkyl; unsubstituted  
 alkenyl; unsubstituted alkynyl; unsubstituted aryl; unsubstituted cycloalkyl;  
 20     unsubstituted heterocycloalkyl; unsubstituted heteroaryl; aryl and heteroaryl  
 groups substituted with one or more substituents independently selected from  
 the group consisting of halogen and alkyl; or two or more  $R_c$  groups together  
 cyclize to form part of a heteroaryl or heterocycloalkyl group unsubstituted or  
 substituted with an unsubstituted alkyl group;
- 25      $R_4$  is hydrogen or an alkyl, alkenyl, alkynyl, heteroalkyl, or haloalkyl group,  
 unsubstituted or substituted with  $-OR_d$  where  $R_d$  is an unsubstituted alkyl group;  
 $R_5$  is hydrogen or an alkyl, alkenyl, alkynyl, heteroalkyl, or haloalkyl group;  
 $R_6$  is hydrogen or an alkyl, alkenyl, alkynyl, heteroalkyl, or haloalkyl group,  
 unsubstituted or substituted with an aryl group;
- 30      $R_4$  and  $R_6$  together with the N to which  $R_6$  is attached cyclize to form the following  
 compound represented by the Formula Id:



wherein R<sub>12</sub> and R<sub>13</sub> are each independently:

hydrogen; -C(O)OR<sub>c</sub>; or an alkyl, alkenyl, heteroalkyl, or haloalkyl

5 group, unsubstituted or substituted with one or more substituents independently selected from the group consisting of:

halogens; -O-; -OR<sub>c</sub>; NR<sub>c</sub>R<sub>c</sub>; C(O)NR<sub>c</sub>R<sub>c</sub>; NR<sub>c</sub>C(O)NR<sub>c</sub>R<sub>c</sub>;

NR<sub>c</sub>C(O)R<sub>c</sub>; NR<sub>c</sub>C(NR<sub>c</sub>)NR<sub>c</sub>R<sub>c</sub>; SR<sub>c</sub>; S(O)R<sub>c</sub>; S(O)<sub>2</sub>R<sub>c</sub>;

S(O)<sub>2</sub>NR<sub>c</sub>R<sub>c</sub>; and alkyl, aryl, cycloalkyl, heteroaryl, and

10 alkoxy-heteroaryl groups, unsubstituted or substituted by one or more substituents independently selected from the group consisting of:

halogens; -C(R<sub>c</sub>)<sub>3</sub>; -OH; and alkyl, alkenyl, aryl and heteroaryl groups, unsubstituted or substituted with

15 one or more independently selected R<sub>c</sub> groups,

where R<sub>c</sub> is one or more substituents independently selected from the group consisting of: halogens; hydrogen; unsubstituted alkyl; unsubstituted alkenyl; unsubstituted alkynyl; unsubstituted aryl; unsubstituted cycloalkyl; unsubstituted heterocycloalkyl;

20 unsubstituted heteroaryl; aryl and heteroaryl groups substituted with one or more substituents independently selected from the group consisting of halogen and alkyl; or two or more R<sub>c</sub> groups together cyclize to form part of a heteroaryl or heterocycloalkyl group unsubstituted or substituted with an unsubstituted alkyl group; and

25 n is 1, 2 or 3;

R<sub>7</sub> is hydrogen or an alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, aryl, cycloalkyl, heterocycloalkyl or heteroaryl group, unsubstituted or substituted with one or more substituents independently selected from the group consisting of:

halogens; and aryl, cycloalkyl, heterocycloalkyl, and heteroaryl groups, unsubstituted or substituted with one or more halogen groups;

30 X is C or N;

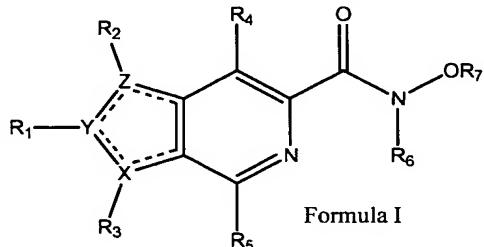
Y is C or N;

Z is C or N; and

there is a double bond between X and the 6-membered ring and Z and the 6-membered ring; or between X and Y; or between Y and Z;

- 5 or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof.

2. A compound represented by Formula I:



wherein:

- 10 R<sub>1</sub> is hydrogen or -C(O)OR<sub>c</sub>, where R<sub>c</sub> is an unsubstituted alkyl, unsubstituted alkenyl, or unsubstituted alkynyl group;

R<sub>2</sub> is hydrogen or an alkyl, alkenyl, or heteroalkyl group, unsubstituted or substituted with one or more substituents independently selected from the group consisting of :

-O-; -NR<sub>d</sub>R<sub>d</sub>; -OR<sub>d</sub>; halogens; and an aryl group, unsubstituted or substituted with one or more substituents independently selected from the group

- 15 consisting of:

halogens; -C(R<sub>d</sub>)<sub>3</sub>; unsubstituted alkyl, alkyl-R<sub>d</sub>, alkenyl-R<sub>d</sub>, and aryl groups,

where R<sub>d</sub> is one or more substituents independently selected from the group consisting of hydrogen; unsubstituted alkyl, unsubstituted alkenyl, and unsubstituted aryl groups;

- 20 R<sub>3</sub> is hydrogen or an alkyl, alkenyl, or heteroalkyl group, unsubstituted or substituted with one or more substituents independently selected from the group consisting of :

-O-; -OR<sub>e</sub>; and, alkyl, aryl, cycloalkyl, and heteroaryl groups, unsubstituted or substituted with one or more substituents independently selected from the group consisting of:

- 25 halogens; -OH; and aryl or heteroaryl groups, substituted with one or more R<sub>e</sub> substituents,

where R<sub>e</sub> is one or more substituents independently selected from the group consisting of halogens; hydrogen; OH; unsubstituted alkyl; and aryl unsubstituted or substituted with one or more substituents independently selected from the group consisting of halogen and alkyl;

- 30 R<sub>4</sub> is hydrogen or an alkyl group, unsubstituted or substituted with -OR<sub>f</sub>, where R<sub>f</sub> is an unsubstituted alkyl group;

R<sub>5</sub> is hydrogen or an alkyl group;



R<sub>4</sub> is hydrogen, methyl or methoxymethyl;

R<sub>5</sub> is hydrogen or methyl;

R<sub>6</sub> is hydrogen, methyl, or benzyl;

R<sub>7</sub> is hydrogen, methyl, benzyl, phenyl, allyl, or *tert*-butyl, unsubstituted or substituted

5 with one or more halogens; and

R<sub>4</sub> and R<sub>6</sub> together with the N to which R<sub>6</sub> attaches cyclize to form a pyrrole-2-one.

4. A compound according to claim 3, wherein:

R<sub>1</sub> is hydrogen or -C(O)O-ethyl;

R<sub>2</sub> is selected from

10 hydrogen;

hydroxymethyl;

methoxymethyl;

ethoxymethyl;

2-phenylvinyl;

15 3-phenylprop-1-enyl;

[(2-phenylvinyl)oxy]methyl;

dimethylaminomethyl;

benzyloxymethyl;

4-fluorobenzyl;

20 2-phenylvinyl;

2-phenylethyl;

3-phenylpropyl;

2-phenylethoxymethyl;

[(phenylprop-2-enyl)oxy]methyl;

25 [(3-phenylallyl)oxy]methyl;

methyl;

ethyl; and

allyl;

R<sub>3</sub> is selected from

30 hydrogen;

2,4-difluorobenzyl;

2,3-difluorobenzyl;

4-fluorobenzyl;

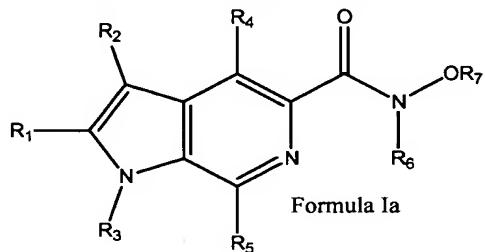
3-chloro-2,6-difluorobenzyl;

35 3-chloro-5-fluoro-2-hydroxybenzyl;

5-chloro-thiophen-2-ylmethyl;

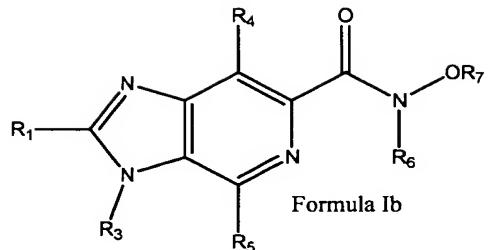
3-chloro-2-fluorobenzyl;

- 2,3-dichlorobenzyl;  
 5-ethoxy-[1,2,3]thiadiazol-4-ylmethyl;  
 3-methyl-butyl;  
 2-cyclohexyl-ethyl;  
 5  
 2,4-difluoro-phenoxyethyl;  
 3,5-difluoro-2-hydroxybenzyl;  
 2-chloro-4-fluoro-phenoxyethyl;  
 3-chloro-5-fluoro-2-hydroxybenzyl;  
 4-fluoro-phenoxyethyl;  
 10  
 5-fluoro-2-hydroxy-benzyl;  
 2,3,4-trifluoro-phenoxyethyl;  
 3,4,5-trifluoro-2-hydroxybenzyl;  
 2-chloro-phenoxyethyl; and  
 5-chloro-2-hydroxy-benzyl;
- 15      R<sub>4</sub> is hydrogen, methyl or methoxymethyl;  
 R<sub>5</sub> is hydrogen or methyl;  
 R<sub>6</sub> is hydrogen, methyl, or benzyl;  
 R<sub>7</sub> is hydrogen, methyl, benzyl, phenyl, pentafluorobenzyl, allyl, *tert*-butyl;  
 R<sub>4</sub> and R<sub>6</sub> together with the N to which R<sub>6</sub> attaches cyclize to form a pyrrol-2-one.
- 20      5.      A compound according to any one of claims 1-4, represented by Formula Ia:



- wherein:  
 X is N;  
 Y is C;  
 25  
 Z is C; and  
 the double bond is between Y and Z;  
 or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof.

6.      A compound according to any one of claims 1-4, represented by Formula Ib:



wherein:

$\text{X}$  is  $\text{N}$ ;

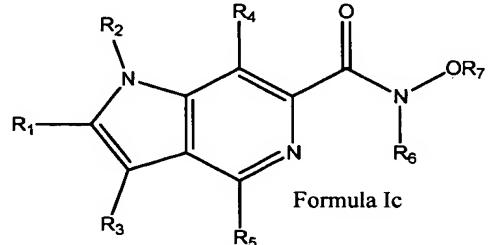
$\text{Y}$  is  $\text{C}$ ;

5        $\text{Z}$  is  $\text{N}$ ; and

the double bond is between  $\text{Y}$  and  $\text{Z}$ ;

or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof.

7.       A compound according to any one of claims 1-4, represented by Formula Ic:



10

wherein:

$\text{X}$  is  $\text{C}$ ;

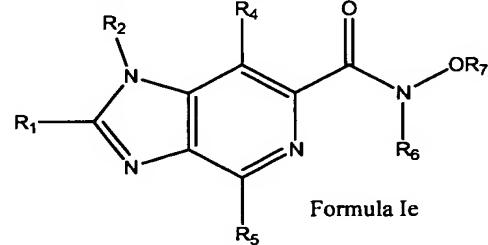
$\text{Y}$  is  $\text{C}$ ;

15        $\text{Z}$  is  $\text{N}$ ; and

the double bond is between  $\text{X}$  and  $\text{Y}$ ;

or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof.

8.       A compound according to any one of claims 1-4, represented by Formula Ie:



20

wherein:

$\text{X}$  is  $\text{N}$ ;

Y is C;

Z is N; and

the double bond is between X and Y;

or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or a

5 pharmaceutically active metabolite thereof.

9. A compound or a pharmaceutically acceptable salt according to any one of claims 1-8.

10. A compound selected from the group consisting of:

1-(2,4-Difluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

10 1-(2,4-Difluorobenzyl)-N-hydroxy-N-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(4-Fluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(4-Fluorobenzyl)-N-hydroxy-N-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

N-Benzyl-1-(4-fluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine -5-carboxamide;

1-(3-Chloro-2,6-difluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

15 1-(5-Chloro-thiophen-2-ylmethyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(3-Chloro-2-fluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,3-Dichlorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(5-Ethoxy-[1,2,3]thiadiazol-4-ylmethyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-N-hydroxy-4-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

20 1-(2,4-Difluorobenzyl)-3-ethoxymethyl-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-N-hydroxy-3-hydroxymethyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-3-dimethylaminomethyl-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

25 3-Benzyloxymethyl-1-(2,4-difluorobenzyl)-N-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

3-(2,4-Difluorobenzyl)-N-hydroxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;

1-(2,4-Difluorobenzyl)-N-hydroxy-1*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;

1-(2,4-Difluorobenzyl)-3-ethoxymethyl-N-hydroxy-N-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

30 1-(2,4-Difluorobenzyl)-N-hydroxy-3-hydroxymethyl-N-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-3-dimethylaminomethyl-N-hydroxy-N-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-N-methoxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

35 1-(2,4-Difluorobenzyl)-3-ethoxymethyl-N-methoxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

1-(2,4-Difluorobenzyl)-3-hydroxymethyl-N-methoxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;

- 1-(2,4-Difluorobenzyl)-3-dimethylaminomethyl-*N*-methoxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
*N*-Benzyl-*O*-1-(2,4-difluorobenzyl)-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
*N*-Benzyl-*O*-3-(4-fluorobenzyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;
- 5 3-(4-Fluorobenzyl)-*N*-methoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(4-Fluorobenzyl)-*N*-phenoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(4-Fluorobenzyl)-*N*-[(pentafluorobenzyl)oxy]-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
*N*-(Allyloxy)-3-(4-fluorobenzyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
6-(2,4-Difluorobenzyl)-2-hydroxy-1,6-dihydrodipyrrolo[3,2-*d*:3',4'-*b*]pyridin-3(2*H*)-one;
- 10 3-(2,3-Difluorobenzyl)-*N*-phenoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(2,3-Difluorobenzyl)-*N*-methoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
*N*-Allyloxy-3-(2,3-difluorobenzyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
1-(4-Fluorobenzyl)-*N*-phenoxy-1*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
*N*-tert-Butoxy-3-(2,3-difluorobenzyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;
- 15 15 *N*-Methoxy-3-(3-methyl-butyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(3-Methyl-butyl)-*N*-phenoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(2-Cyclohexyl-ethyl)-*N*-phenoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
3-(2-Cyclohexyl-ethyl)-*N*-methoxy-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;  
*N*-Allyloxy-3-(2-cyclohexyl-ethyl)-3*H*-imidazo[4,5-*c*]pyridine-6-carboxamide;
- 20 1-(2,4-Difluorobenzyl)-*N*-hydroxy-4-methoxymethyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-(2-phenylvinyl)-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-(3-phenylprop-1-enyl)-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-(2-phenylethyl)-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;
- 25 1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-(3-phenylpropyl)-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-[(2-phenylethyl)oxy]methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-[(3-phenylallyl)oxy]methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;
- 30 1-(2,4-Difluorobenzyl)-*N*-hydroxy-3-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-3-ethyl-*N*-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
3-Allyl-1-(2,4-difluorobenzyl)-*N*-hydroxy-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
1-(2,4-Difluorobenzyl)-*N*-hydroxy-7-methyl-1*H*-pyrrolo[2,3-*c*]pyridine-5-carboxamide;  
Ethyl 1-(2,4-Difluorobenzyl)-5-hydroxycarbamoyl-1*H*-pyrrolo[2,3-*c*]pyridine-2-carboxylate;
- 35 3-(2,4-Difluoro-phenoxy)methyl-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;  
3-(3,5-Difluoro-2-hydroxybenzyl)-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;

- 3-(2-Chloro-4-fluoro-phenoxyethyl)-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 3-(3-Chloro-5-fluoro-2-hydroxybenzyl)-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 5 1-Ethyl-3-(4-fluoro-phenoxyethyl)-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 1-Ethyl-3-(5-fluoro-2-hydroxybenzyl)-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 1-Ethyl-*N*-hydroxy-3-(2,3,4-trifluoro-2-phenoxyethyl)-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 10 1-Ethyl-*N*-hydroxy-3-(3,4,5-trifluoro-2-hydroxybenzyl)-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 3-(2-Chloro-phenoxyethyl)-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide;
- 3-(5-Chloro-2-hydroxy-benzyl)-1-ethyl-*N*-hydroxy-1*H*-pyrrolo[3,2-*c*]pyridine-6-carboxamide  
and pharmaceutically acceptable salts thereof.
11. A composition comprising:
- 15 a therapeutically effective amount of a compound or pharmaceutically acceptable salt according to any one of claims 1-4; and  
a pharmaceutically acceptable carrier, diluent, or vehicle therefore.
12. A method of inhibiting or modulating an enzyme activity of HIV Integrase, comprising contacting said enzyme with an effective amount of a compound,
- 20 pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or pharmaceutically active metabolite as defined in any one of claims 1-4.
13. A method of treating a disease or condition mediated by HIV, comprising administering to a mammal in need of such treatment a therapeutically effective amount of at least one compound, pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or pharmaceutically active metabolite as defined in any one of claims 1-4.
- 25
14. A method of evaluating the HIV integrase modulatory activity of a test compound, comprising:
- a) immobilizing viral DNA on a surface, wherein the viral DNA has been modified to contain a CA base pair overhang at the 5' end;
- 30 b) adding integrase to the immobilized DNA;
- c) adding a test compound to the immobilized viral DNA/integrase mixture;
- d) obtaining target ds-DNA radiolabeled at both 3' ends;
- e) combining the immobilized viral DNA/integrase/compound mixture with the radiolabeled target DNA to initiate a reaction;
- 35 f) stopping the reaction by adding a stop buffer to the combination of (e); and  
g) reading the reaction results in a scintillation counter to determine whether the test compound modulates the activity of the integrase.

15. The method of claim 14, wherein the surface is at least one scintillation proximity assay bead.